10/540,093

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	3	("5618707"|"5767115"|"5886171").PN.	US-PGPUB; USPAT	OR	ON	2006/04/12 14:05
L2	191	548/228.icls.	US-PGPUB; USPAT	OR	ON	2006/04/12 14:06
L3	287	548/228.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/12 14:06
L4	1	l2 and ezetimibe	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/04/12 14:08
L5	6	l2 and DIP	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/04/12 14:09

10/540,093 YONG CHU 4-12-2006

$%^STN;HighlightOn=;**HighlightOff=**;

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NEWS 4	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 5	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 6	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 7	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 8	JAN 30	Saved answer limit increased
NEWS 9	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
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NEWS 14	FEB 28	TOXCENTER reloaded with enhancements
NEWS 15	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 16	MAR 01	INSPEC reloaded and enhanced
NEWS 17	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18	MAR 08	X.25 communication option no longer available after June 2006
NEWS 19	MAR 22	EMBASE is now updated on a daily basis
NEWS 20	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 22	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 23	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 25	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 14:25:45 ON 12 APR 2006

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FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4
DICTIONARY FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
*
* The CA roles and document type information have been removed from *
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* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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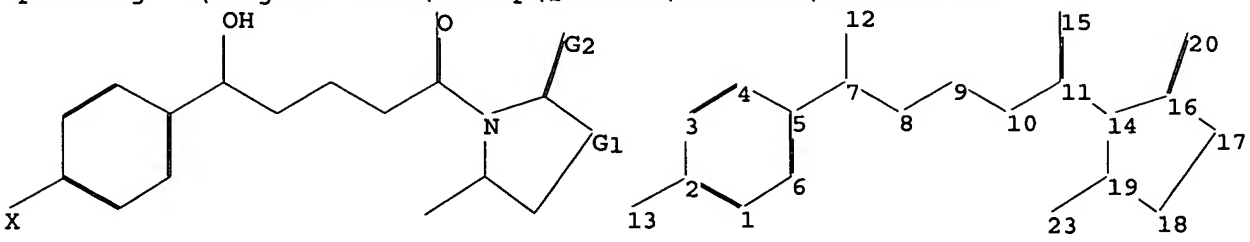
=>

Uploading C:\Program Files\Stnexp\Queries\10540093\10540093.str



chain nodes :
7 8 9 10 11 12 13 15 20
ring nodes :
1 2 3 4 5 6 14 16 17 18 19
ring/chain nodes :
23
chain bonds :
2-13 5-7 7-8 7-12 8-9 9-10 10-11 11-14 11-15 16-20 19-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-16 14-19 16-17 17-18 18-19
exact/norm bonds :
2-13 5-7 7-8 7-12 8-9 9-10 10-11 11-14 11-15 14-16 14-19 16-17 16-20
17-18 18-19 19-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

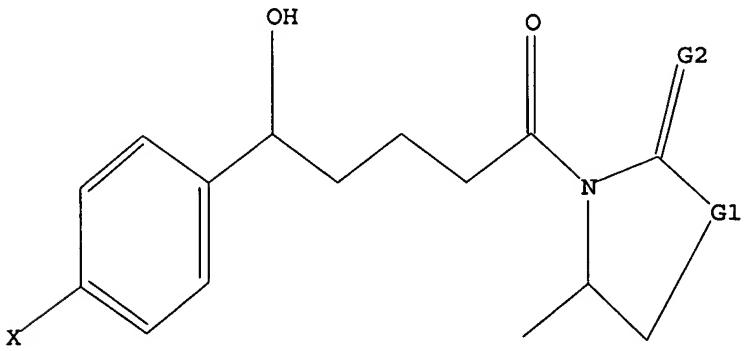
G1:O,S

G2:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom
19:Atom 20:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

G2 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:26:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 34 TO ITERATE

100.0% PROCESSED 34 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 331 TO 1029
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:26:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 925 TO ITERATE

100.0% PROCESSED 925 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L3 7 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'CAPLUS' ENTERED AT 14:26:55 ON 12 APR 2006
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FILE LAST UPDATED: 11 Apr 2006 (20060411/ED)

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=> s l3
L4 14 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

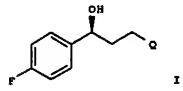
ACCESSION NUMBER: 2005:638839 CAPLUS
DOCUMENT NUMBER: 143:153272
TITLE: Asymmetric synthesis of hydroxyalkylazetidinone derivatives, useful as hypocholesterolemic agents
INVENTOR(S): Kumar, Yatendra; Meeran, Hashim Nizar Poovanathi Nagoor; Singh, Sheilendra Kumar; Rathod, Parendu Dhirajlal; Ganegekhedker, Kiran Kumar; Bose, Prosenjit; Kumar, Pramod
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066120	A2	20050721	WO 2004-IB4281	20041223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2003-DE1643 A 20031230

OTHER SOURCE(S): MARPAT 143:153272
GI



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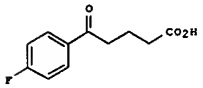


II

AB The invention relates to an esym. synthesis of hydroxyalkylazetidinone derivs. of formula I [wherein: Q is a derivative of azetidinone, oxazolone, or CH2C(O)-O-(alkyl/aryl/arylalkyl)], useful as hypocholesterolemic agents (no

Current application

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:472140 CAPLUS
DOCUMENT NUMBER: 143:7700
TITLE: A stereoselective reduction process for the preparation of an ezetimibe intermediate 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-2-oxezolidinone from 3-[5-(4-fluorophenyl)-1,5-dioxopentyl]-4-phenyl-2-oxazolidinone using (-)-[3-chlorodiisopinocampheylborene
INVENTOR(S): Perthesaradhi Reddy, Bendi; Rethnakar Reddy, Kure; Raji Reddy, Rapolu; Muralidhare Reddy, Daseri; Subash Chender Reddy, Kesireddy
PATENT ASSIGNEE(S): Hetero Drugs Limited, Indie
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049592	A1	20050602	WO 2003-IN366	20031124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003282384	A1	20050608	AU 2003-282384	20031124
US 2006069137	A1	20060330	US 2005-540093	20050620

PRIORITY APPLN. INFO.: WO 2003-IN366 A 20031124

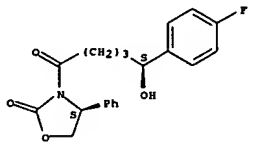
OTHER SOURCE(S): CASREACT 143:7700; MARPAT 143:7700
AB An intermediate of ezetimibe, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-2-oxezolidinone, is prepared in high yield and selectivity by the stereoselective reduction of 3-[5-(4-fluorophenyl)-1,5-dioxopentyl]-4-phenyl-2-oxezolidinone using (-)-3-chlorodiisopinocampheylborene.
IT 189028-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective reduction process for the preparation of an ezetimibe intermediate 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-2-oxazolidinone from 3-[5-(4-fluorophenyl)-1,5-dioxopentyl]-4-phenyl-2-oxazolidinone)
RN 189028-95-3 CAPLUS
CN 2-Oxezolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

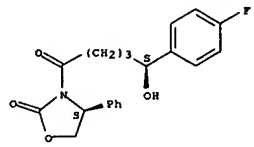
L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

biol. date). The invention compds. were prepd. via stereoselective redn. of benzylic ketone using (-)-B-chlorodiisopinocampheylborene. For instance, hydroxyalkylazetidinone deriv. (-)-I (Q = CH2CO2H) was prepd. via stereoselective redn. of oxopentenoate deriv. II.
IT 189028-95-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(esym. synthesis of hydroxyalkylazetidinone derivs. useful as hypocholesterolemics)
RN 189028-95-3 CAPLUS
CN 2-Oxezolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



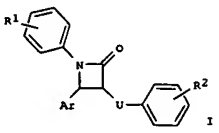
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:451353 CAPLUS
DOCUMENT NUMBER: 143:7939
TITLE: Preparation of 4-biarylyl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia
INVENTOR(S): Martinez, Eduardo; Talley, John J.; Antonelli, Stephen; Barden, Timothy C.; Lundrigan-Soucy, Regina; Schairer, Wayne C.; Yang, Jing-Jing; Zimmer, Daniel P.
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047248	A1	20050526	WO 2004-US37715	20041110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005209165	A1	20050922	US 2004-986570	20041110
PRIORITY APPLN. INFO.:			US 2003-518698P P	20031110
			US 2004-549577P P	20040303
			US 2004-592529P P	20040730
			US 2004-614005P P	20040928

OTHER SOURCE(S): MARPAT 143:7939
GI



AB 4-Biarylyl-1-phenylazetidin-2-ones I, wherein Ar is substituted aryl, R1 and R2 are independently H, halogen, OH, alkyl, OCF2H, OCF3, CF2H, CHF2,

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

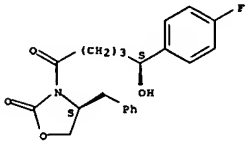
alkoxy, methylenedioxy, ethylenedioxy, hydroxy-alkyl, CN, CF3, nitro, SH, thioalkyl, amino, alkylamino, dialkylamino, amino-sulfonyl, alkylamino-sulfonyl, dialkylamino-sulfonyl, alkyl-sulfonyl, arylsulfonyl, acyl, carboxy, alkoxycarbonyl, carboxy-alkyl, carboxamido, alkyl sulfoxide, acylamino, amidino, Ph, benzyl, phenoxy, benzyloxy, PO3H2, SO3H, B(OH)2, sugar, polyol, glucuronide, sugar carbamate; R2 is U is alkylene in which one or more CH2 may be replaced by a radical chosen from S, S(O), SO2, O, C(O), CHOH, NH, CHF, CF2, CH(O-lower-alkyl), CH(O-lower-acyl), CH(OSO3H), CH(OPO3H2), CH(OB(OH)2), or NOH; were prepd. and used for the treatment of hypercholesterolemia. Thus, (1R)-1,5-anhydro-1-[4'-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl]biphenyl-4-yl]-L-glucitol, was prepd. and tested for the treatment of hypercholesterolemia.

A method of prevention or treatment of a cholesterol-assocd. tumor benign prostatic hypertrophy, benign breast tumor, benign endometrial tumor, benign prostatic hypertrophy, and benign colon tumor, is claimed. Pharmacokinetics study of title compds. and bioavailability studies are carried out in rats. Compds. of the invention were tested in the rat cholesterol absorption (inhibition range 7-76 %)..

IT 852148-49-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-biarylyl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia)

RN 852148-49-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-(phenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

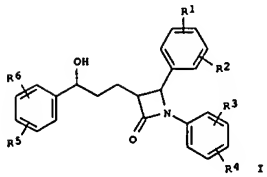
L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2851 CAPLUS
DOCUMENT NUMBER: 140:59508
TITLE: Preparation of diphenylazetidinones substituted by acidic groups as hypolipidemics.
INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000805	A1	20031231	WO 2003-EP5816	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10227508	A1	20040108	DE 2002-10227508	20020619
CA 2490112	AA	20031231	CA 2003-2490112	20030604
AU 2003238210	A1	20040106	AU 2003-238210	20030604
EP 1517891	A1	20050330	EP 2003-735535	20030604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011896	A	20050405	BR 2003-11896	20030604
CN 1662495	A	20050831	CN 2003-814332	20030604
JP 2005533073	T2	20051104	JP 2004-514661	20030604
US 2004067913	A1	20040408	US 2003-463388	20030618
NO 2005000134	A	20050318	NO 2005-134	20050111
PRIORITY APPLN. INFO.:			DE 2002-10227508 A	20020619
			US 2002-418678P P	20021015
			WO 2003-EP5816 W	20030604

OTHER SOURCE(S): MARPAT 140:59508
GI

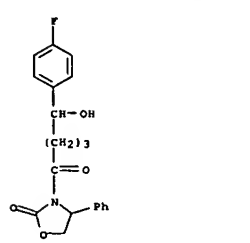
L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. [I; R1-R6 = H, F, Cl, Br, iodo, CF3, NO2, N3, CN, CO2H, CO2alkyl, CONH2, CONHalkyl, CO-30-alkylene-(LAG)n, etc.; n = 1-5; ≥1 C of the alkylenes may be replaced by SOO-2, O, CO, CS, CH:CH, C.tplbond.C, alkylimino, phenylimino, alkylphenylimino, etc.; LAG = (CH2)1-10-SO3H, (CH2)0-10-P(O)(OH)2, (CH2)0-10-OP(O)(OH)2, (CH2)0-10CO2H; with provisos], were prepared Thus, 4-[5-(tert-butyldimethylsilyloxy)-5-(4-fluorphenyl)-1-(4-methoxyphenyl)-2-(2-oxo-4-phenyloxazolidin-3-carbonyl)pentylamino]benzonitrile (preparation given) in Me tert-Bu ether was treated with N,O-bis(trimethylsilyl)acetamide and Bu4NF in THF and the mixture was stirred 2 h at room temperature to give 4-[3-[3-(tert-butyldimethylsilyloxy)-3-(4-fluorophenyl)propyl]-2-(4-methoxyphenyl)-4-oxoazetidin-1-yl]benzonitrile. This was converted to 4-[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxoazetidin-1-yl]benzylamino]butane-1-sulfonic acid in several steps. The latter inhibited cholesterol uptake by mouse liver with ED50 = 1.0 mg/mouse orally.

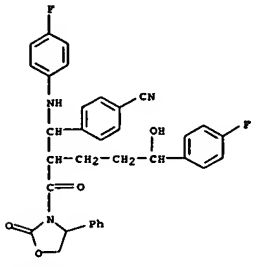
IT 439080-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylazetidinones substituted by acidic groups as hypolipidemics)

RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **638212-96-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diphenylazetidinones substituted by acidic groups as hypolipidemics)
RN 638212-96-1 CAPLUS
CN 2-Oxazolidinone, 3-[2-[(4-cyanophenyl)[(4-fluorophenyl)amino]methyl]-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:2849 CAPLUS
DOCUMENT NUMBER: 140:77012
TITLE: Preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia
INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000803	A1	20031231	WO 2003-EP5814	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10227507	A1	20040108	DE 2002-10227507	20020619
CA 2490108	AA	20031231	CA 2003-2490108	20030604
AU 2003238209	A1	20040106	AU 2003-238209	20030604
EP 1517890	A1	20050330	EP 2003-735534	20030604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011984	A	20050426	BR 2003-11984	20030604
CN 1662494	A	20050831	CN 2003-814093	20030604
JP 2005533071	T2	20051104	JP 2004-514659	20030604
US 2004077623	A1	20040422	US 2003-463789	20030618
NO 2005000088	A	20050106	NO 2005-88	20050106

PRIORITY APPLN. INFO.: DE 2002-10227507 A 20020619
US 2002-411981P P 20020919
WO 2003-EP5814 W 20030604

only used as ST

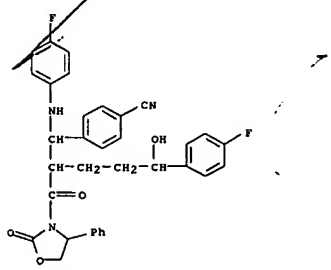
OTHER SOURCE(S): MARPAT 140:77012
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R3, R4, R5, R6 = (un)substituted alkylene-(LAG)n; n = 1-5; LAG = sugar; amino sugar; amino acid, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of benzonitrile II e.g., prepared from 3-[5-(4-fluorophenyl)-5-

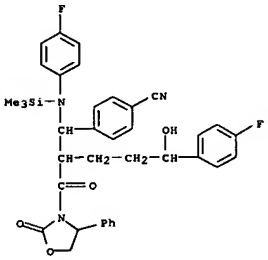
L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hydroxypentanoyl]-4-phenyloxazolidin-2-one in 4-steps, and hydroxylamine hydrochloride afforded N-hydroxybenzenecarboximidamide III. In rat liver cholesterol absorption assays, 14-examples of compds. I exhibited EC50 values ranging from 0.03-<1.0 (mg/mouse), e.g., the EC50 value of N-hydroxybenzenecarboximidamide III was 0.1. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia.

IT **638212-96-1P 639504-71-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of diphenylazetidinones for treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia)
RN 638212-96-1 CAPLUS
CN 2-Oxazolidinone, 3-[2-[(4-cyanophenyl)[(4-fluorophenyl)amino]methyl]-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)

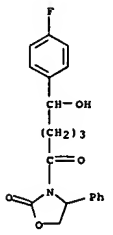


RN 639504-71-5 CAPLUS
CN 2-Oxazolidinone, 3-[2-[(4-cyanophenyl)[(4-fluorophenyl)(trimethylsilyl)amino]methyl]-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



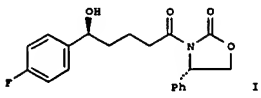
IT **439080-96-3**, 3-[5-(4-Fluorophenyl)-5-hydroxypentanoyl]-4-phenyloxazolidin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylazetidinones for treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia)
RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

Not

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:91023 CAPLUS
DOCUMENT NUMBER: 138:385340
TITLE: Process for preparing Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction
AUTHOR(S): Fu, Xiaoyong; McAllister, Timothy L.; Thiruvengadam, T. K.; Tann, Chou-Hong; Su, Dan
CORPORATE SOURCE: Synthetic Chemistry Department, Schering-Plough Research Institute, Union, NJ, 07083, USA
SOURCE: Tetrahedron Letters (2003), 44(4), 801-804
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:385340
GI



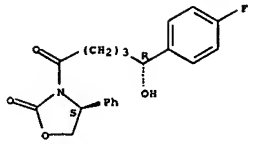
I

AB The S alc. in the benzylic position of compound I, a key feature of a novel cholesterol lowering agent Ezetimibe, was introduced by a (R)-MeCBS [(R)-Me-Corey-Bakshi-Shibata reagent] catalyzed asym. carbonyl reduction using borane THF complex (BTHF) as the reducing agent. The chemo- and enantioselectivity was dramatically enhanced by using an acid as a scavenger of the stabilizer sodium borohydride present in the com. supplied pure BTHF. The effect of the critical reaction parameters such as addition mode of reagent, temperature, acids as well as water content on the selectivity has been examined This reaction has been successfully applied in the com. process for the preparation of the key intermediate I for Ezetimibe.

IT 528565-93-7P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction)
RN 528565-93-7 CAPLUS
CN 2-Oxazolidinone, 3-[(5R)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

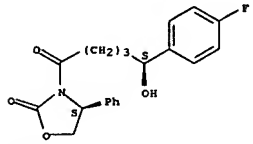
Absolute stereochemistry.

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 189028-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Not

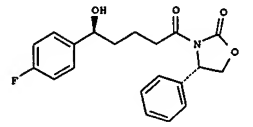
L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:777912 CAPLUS
DOCUMENT NUMBER: 137:279180
TITLE: Process for enantioselective synthesis of oxazolidinone derivative as an intermediate for hydroxyalkyl substituted azetidinones
INVENTOR(S): Fu, Xiaoyong; McAllister, Timothy L.; Thiruvengadam, Tk; Tann, Chou-Hong
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079174	A2	20021010	WO 2002-US9123	20020325
WO 2002079174	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442219	AA	20021010	CA 2002-2442219	20020325
US 2002193607	A1	20021219	US 2002-105710	20020325
US 6627757	B2	20030930		
EE 200300464	A	20031215	EE 2003-464	20020325
EP 1373230	A2	20040102	EP 2002-728561	20020325
EP 1373230	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1500083	A	20040526	CN 2002-807537	20020325
BR 2002008384	A	20040615	BR 2002-8384	20020325
JP 2004532210	T2	20041021	JP 2002-577801	20020325
NZ 527852	A	20050324	NZ 2002-527852	20020325
AT 305459	E	20051015	AT 2002-728561	20020325
ES 2245733	T3	20060116	ES 2002-2728561	20020325
US 2003204096	A1	20031030	US 2003-441391	20030520
ZA 2003006612	A	20041012	ZA 2003-6612	20030825
BG 108168	A	20040930	BG 2003-108168	20030909
HK 1057546	A1	20051223	HK 2004-100111	20040107

PRIORITY APPLN. INFO.:
US 2001-279288P P 20010328
US 2002-105710 A3 20020325
WO 2002-US9123 W 20020325

OTHER SOURCE(S): CASREACT 137:279180; MARPAT 137:279180
GI

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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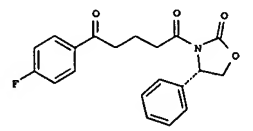
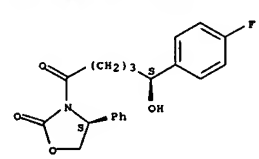


II

AB This invention pertains to a process for enantioselective synthesis of oxazolidinone I, in high yield and high chemoselectivity, as an intermediate for hydroxyalkyl substituted azetidinones that are useful as hypocholesterolemic agents in treatment and prevention of atherosclerosis (no data). For example, oxazolidinone (S)-II was reduced by BH3•THF in THF in the presence of (R)-MeCBS to afford I (100%) with 95% de. The use of BH3•THF instead of traditional BH3•Me2S as a reducing agent eliminates the environmental issues caused by use of the Me2S complex. Reversing the addition sequence increased chemoselectivity in the reduction

IT 189028-95-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(chemoselective reduction of disubstituted 1,5-pentanedione derivative)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Not

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:487559 CAPLUS
DOCUMENT NUMBER: 137:63115
TITLE: Preparation of diphenylazetidinone derivatives as hypolipidemic agents
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050068	A1	20020627	WO 2001-EP14532	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064402	A1	20020627	DE 2000-10064402	20001221
DE 10154520	A1	20031002	DE 2001-10154520	20011107
CA 2431985	AA	20020627	CA 2001-2431985	20011211
AU 2002019173	A5	20020701	AU 2002-19173	20011211
EE 200300237	A	20030815	EE 2003-237	20011211
EP 1345932	A1	20030924	EP 2001-271371	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016482	A	20040203	BR 2001-16482	20011211
JP 2004516293	T2	20040603	JP 2002-551564	20011211
NZ 526592	A	20041126	NZ 2001-526592	20011211
US 2002128252	A1	20020912	US 2001-21028	20011219
US 6498156	B2	20021224		
ZA 2003004092	A	20040419	ZA 2003-4092	20030527
ZA 2003004095	A	20040419	ZA 2003-4095	20030527
NO 2003002733	A	20030814	NO 2003-2733	20030616

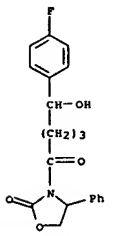
PRIORITY APPLN. INFO.:
DE 2000-10064402 A 20001221
DE 2001-10154520 A 20011107
WO 2001-EP14532 W 20011211

OTHER SOURCE(S): MARPAT 137:63115
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The compds. are suited for use e.g. as hypolipidemic drugs. The invention
discloses preparation of diphenylazetidinone derivs. such as I [R1, R2, R3, R4,

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R5, R6 = CO-C30-alkylene-L (optionally contg. O, CO, CH:CH, C.tplbond.C, N(alkyl), N(alkylphenyl), NH], H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(alkyl), CONH2, CONH(alkyl), CON(alkyl)2, alkyl, alkenyl, alkynyl, O-alkyl, SO2NH2, SO2NH(alkyl) SO2N(alkyl)2, S-(alkyl), SO(alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(alkyl), SO2(CH2)nPh, NH2, NH(alkyl), N(alkyl)2, NH(acyl), (un)substituted Ph, O(CH2)nPh; n = 0-6; L = II; R7, R9, R10 = Me, Et, Pr, butyl; R8 = H, OH, NH2, NH(alkyl)], and their physiol. acceptable salts, for their use as hypolipidemic agents. Thus, 1,2-diphenylazetidinone deriv. III·trifluoroacetate (IV) was prepd. via a multistep synthetic sequence starting from 7-[3-(3-butyl-7-dimethylamino-3-ethyl-4-hydroxy-1,1-dioxo-2,3,4,5-tetrahydro-1H-benzo[b]thiepin-5-yl)-phenylcarbamoyl]-heptanoic acid and 4-(4-aminomethylphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxyphenyl]-azetidin-2-one. Azetidinone IV was tested for its cholesterol lowering ability [ED50 = 0.01 mg/mouse].
IT 439080-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylazetidinone derivs. as hypolipidemics)
RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:487523 CAPLUS
DOCUMENT NUMBER: 137:63113
TITLE: Method for producing novel 1,2-diphenylazetidinones, medicaments containing them, and their use for treating disorders of lipid metabolism
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

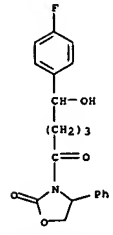
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050027	A1	20020627	WO 2001-EP14531	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064398	A1	20020627	DE 2000-10064398	20001221
DE 10152981	A1	20030508	DE 2001-10152981	20011026
CA 2431983	AA	20020627	CA 2001-2431983	20011211
AU 2002016097	A5	20020701	AU 2002-16097	20011211
EE 200300236	A	20030815	EE 2003-236	20011211
EP 1345895	A1	20030924	EP 2001-271353	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016325	A	20031014	BR 2001-16325	20011211
JP 2004516280	T2	20040603	JP 2002-551524	20011211
NZ 526593	A	20050225	NZ 2001-526593	20011211
US 2002137689	A1	20020926	US 2001-21502	20011219
US 6992067	B2	20060131		
ZA 2003004093	A	20040423	ZA 2003-4093	20030527
NO 2003002734	A	20030818	NO 2003-2734	20030616
US 2005267038	A1	20051201	US 2005-155109	20050617

PRIORITY APPLN. INFO.:
DE 2000-10064398 A 20001221
DE 2001-10152981 A 20011026
WO 2001-EP14531 W 20011211
US 2001-21502 A3 20011219

OTHER SOURCE(S): CASREACT 137:63113; MARPAT 137:63113
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The invention relates to the compds. I [R1, R2, R3, R4, R5, R6 = CO-30-alkylene-LAG (optionally containing O, CO, CH:CH, C.tplbond.C, N(C1-6-alkyl), N(C1-6-alkylphenyl), NH), H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(C1-6-alkyl), CONH, CONH(C1-6-alkyl), CON(C1-6-alkyl)2, C1-6-alkyl, C1-6-alkenyl, C1-6-alkynyl, O-(C1-6-alkyl), SO2NH2, SO2NH(C1-6-alkyl) SO2N(C1-6-alkyl)2, S-(C1-6-alkyl), SO(C1-6-alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(C1-6-alkyl), SO2(CH2)nPh, NH2, NH(C1-6-alkyl), N(C1-6-alkyl)2, NH(C1-6-acyl), (un)substituted Ph, O(CH2)nPh; LAG = sugar residue, di-, tri-, tetrasaccharide, carbohydrate acid, amino sugar, amino acid, oligopeptide (2 - 9 residues), (trialkylammonium)alkyl, OSO3H] and to their physiol. acceptable salts, suitable, for example, as hypolipidemics. Thus, 1,2-diphenylazetidinone II [R10 = CO(CH2)11NHCO(CHOH)4CH2OH] was prepared from (methoxyphenyl)azetidinone II (R10 = H) via N-acylation with 12-[(2,3,4,5,6-pentahydroxyhexanoyl)amino]dodecanoic acid. Azetidinone II
was tested for its cholesterol lowering ability [ED50 = 0.003 mg/mouse].
IT 439080-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)
RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



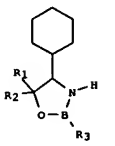
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220599 CAPLUS
DOCUMENT NUMBER: 136:247693
TITLE: Preparation of 4-cyclohexyl-1,3,2-oxazaborolidinas as anantioselective reduction catalysts in the reduction of prochiral ketones to secondary alcohols
INVENTOR(S): Draper, Richard W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022623	A1	20020321	WO 2001-US28293	20010910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002038053	A1	20020328	US 2001-943127	20010830
US 6509472	B2	20030121		
CA 2421777	AA	20020321	CA 2001-2421777	20010910
AU 2001088984	A5	20020326	AU 2001-88984	20010910
EP 1317461	A1	20030611	EP 2001-968760	20010910
EP 1317461	B1	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509125	T2	20040325	JP 2002-526874	20010910
AT 281462	E	20041115	AT 2001-968760	20010910
PT 1317461	T	20050228	PT 2001-968760	20010910
ES 2227264	T3	20050401	ES 2001-1968760	20010910

PRIORITY APPLN. INFO.: US 2000-231630P P 20000911
WO 2001-US28293 W 20010910

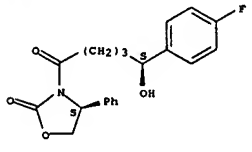
OTHER SOURCE(S): MARPAT 136:247693
GI



AB The preparation of 4-cyclohexyl-1,3,2-oxazaborolidinea [I; wherein R1 = R2 =

L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:153916 CAPLUS
DOCUMENT NUMBER: 137:47059
TITLE: Synthesis of 3H, 14C and 13C6 labelled Sch 58235
AUTHOR(S): Hesk, D.; Bignan, G.; Lee, J.; Yang, J.; Voronin, K.; Magatti, C.; McNamara, P.; Koharaki, D.; Hendershot, S.; Saluja, S.; Wang, S.
CORPORATE SOURCE: Schering Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2002), 45(2), 145-155
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:47059
AB 3H-Sch 58235 was prepared at a specific activity of 29.1 Ci/mmol by Ir(COD)(Cy3P)PyPF6, catalyzed exchange with tritium gas. 14C-Sch 58235 was prepared in three steps from p-hydroxy[ring-U-14C]benzaldehyde with an overall radiochem. yield of 21%. 13C6-Sch 58235 was similarly prepared in three steps from p-hydroxy[ring-U-13C6]benzaldehyde in an overall yield of 41%.
IT 189028-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 3H, 14C and 13C6 labeled Sch 58235)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

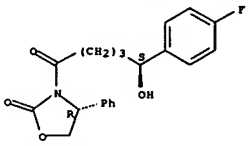
Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
aryl, alkyl, cycloalkyl or aralkyl; R3 = H, alkyl, aryl, aralkyl, alkoxy] is described. The prepd. compds. are useful as catalysts in the enantioselective redn. of prochiral ketones to chiral secondary alcs. Thus, (R)-2-amino-2-cyclohexyl-1,1-diphenylethanol was reacted with trimethylboroxine to give (R)-4-cyclohexyl-5,5-diphenyl-2-methoxy-1-oxazaborolidine, which was used to reduce bromoacetophenone to give (R)-2-bromo-1-phenylethanol in 99% ee.
IT 404874-94-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 404874-94-8 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:224399 CAPLUS
DOCUMENT NUMBER: 134:252201
TITLE: Process for the synthesis of azetidinones
INVENTOR(S): Thiruvengadam, Tiruvettipuram K.; Fu, Xiaoyong; Tann, Chou-hong; Mcallister, Timothy L.; Chiu, John S.; Colon, Cesar
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207822	B1	20010327	US 1999-455482	19991205

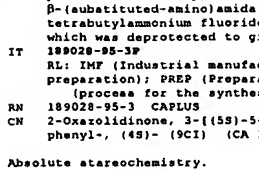
PRIORITY APPLN. INFO.: US 1998-111249P P 19981207

OTHER SOURCE(S): CASREACT 134:252201; MARPAT 134:252201
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention provides a process for preparing the hypocholesterolemic compound I (R = H) from p-fluorobenzoylbutyric and pivaloyl chloride via intermediates II and III. Thus, reaction of p-fluorobenzoylbutyric acid with pivaloyl chloride and acylating the product with a chiral auxiliary gave ketone II. II is reduced with BH3·Me2S in the presence of a chiral pyrrolooxazaborolidine catalyst to an alc., which was treated with p-FC6H4N:CHC6H4OH-p, followed by silylation, to give the β-(substituted-amino)amida III. III was cyclized with tetrabutylammonium fluoride to obtain the protected lactam I (R = TMS), which was deprotected to give I (R = H).
IT 189028-95-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the synthesis of azetidinones)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

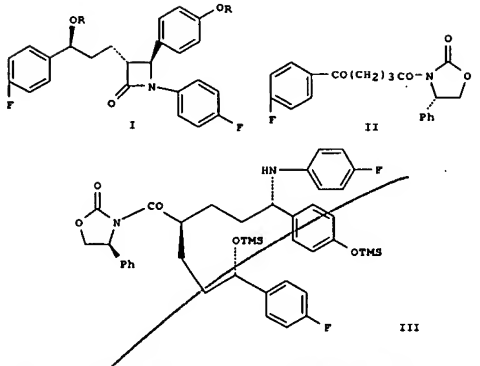
L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:401788 CAPLUS
DOCUMENT NUMBER: 133:17327
TITLE: Process for the synthesis of azetidinones and intermediates for use as hypocholesterolemics
INVENTOR(S): Thiruvengadam, Tiruvettipuram K.; Fu, Xiaoyong; Tann, Chou-Hong; Mcallister, Timothy L.; Chiu, John S.; Colon, Cesar
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034240	A1	20000615	WO 1999-US27914	19991206
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2353981	AA	20000615	CA 1999-2353981	19991206
CA 2353981	C	20050426		
EP 1137634	A1	20011004	EP 1999-963973	19991206
EP 1137634	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2002531546	T2	20020924	JP 2000-586688	19991206
JP 3640888	B2	20050420		
CN 1130342	B	20031210	CN 1999-814140	19991206
AT 297892	E	20050715	AT 1999-963973	19991206
PT 1137634	T	20051031	PT 1999-963973	19991206
ES 2244238	T3	20051201	ES 1999-963973	19991206
ZA 2001004004	A	20020816	ZA 2001-4004	20010516
HK 1039487	A1	20050812	HK 2002-100567	20020124
JP 2005053931	A2	20050303	JP 2004-325144	20041109

PRIORITY APPLN. INFO.:
US 1998-206931 A 19981207
JP 2000-586688 A3 19991206
WO 1999-US27914 W 19991206

OTHER SOURCE(S): CASREACT 133:17327; MARPAT 133:17327
GI



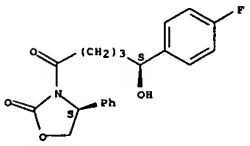
AB Process for preparing the hypocholesterolemic compound (I) by reacting p-fluorobenzoylbutyric acid with pivaloyl chloride, acylating the product with a chiral auxiliary to obtain a ketone of formula (II), reduction in the presence of a chiral catalyst to an alc., condensing the chiral alc. with an imine and a silyl protecting agent to give a β-(substituted-amino)amide of formula (III), cyclization with a silylating agent and a fluoride ion catalyst to a protected lactam of formula I (R = SiMe3) (IV), and removal of the protecting groups is disclosed. The intermediates III and IV are also claimed.

IT 189028-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the synthesis of azetidinones and intermediates for use as hypocholesterolemics)

RN 189028-95-3 CAPLUS

CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

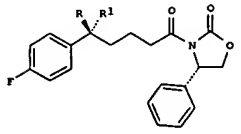
FORMAT

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:262687 CAPLUS
DOCUMENT NUMBER: 126:292505
TITLE: Stereoselective microbial reduction of 5-fluorophenyl-5-oxopentanoic acid and a phenyloxazolidinone condensation product thereof
INVENTOR(S): Homann, Michael J.; Previte, Edward
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5610707	A	19970408	US 1996-583166	19960104
CA 2231808	AA	19970403	CA 1996-2231808	19960926
WO 9712053	A1	19970403	WO 1996-US14836	19960926
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9673617	A1	19970417	AU 1996-73617	19960926
EP 862645	A1	19980909	EP 1996-935829	19960926
EP 862645	B1	20030205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI				
JP 3023179	B2	20000321	JP 1997-513488	19960926
JP 10512454	T2	19981202		
AT 232239	E	20030215	AT 1996-935829	19960926
ES 2191771	T3	20030916	ES 1996-935829	19960926

PRIORITY APPLN. INFO.: US 1995-434BP P 19950927
US 1996-583166 A 19960104
WO 1996-US14836 W 19960926

OTHER SOURCE(S): CASREACT 126:292505
GI



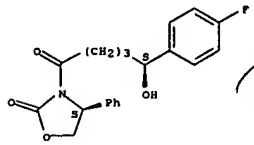
III RR1=O
IV R=OH, R1=H

AB A stereoselective reduction of 5-fluorophenyl-5-oxopentanoic acid (I) to (S)-5-fluorophenyl-5-hydroxypentanoic acid (II), which comprises adding I to a culture broth of Zygosaccharomyces bailii ATCC 38924, incubating the resulting mixt., and isolating II, is described. II is useful as an intermediate in the prepn. of 1-(4-fluorophenyl)-3(R)-[3(S)-hydroxy-3-(4-fluorophenyl)propyl]-4 (S)-(4-hydroxyphenyl)-2-azetidinone, which is a serum cholesterol lowering agent. Also described is a stereoselective redn. of III to IV using Schizosaccharomyces octosporus ATCC 2479.

IT 189028-95-3P
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective microbial reduction of 5-fluorophenyl-5-oxo-pentanoic acid and a phenyloxazolidinone condensation product thereof)

RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



by reduction by microbial

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	72.00	239.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.50	-10.50

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